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FILE COVERS 1907 - 29 Jul 2009 VOL 151 ISS 5  
FILE LAST UPDATED: 28 Jul 2009 (20090728/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2009

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2009.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAPLUS family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 22.

=>

Uploading C:\Program Files\Stnexp\Queries\10579594.str

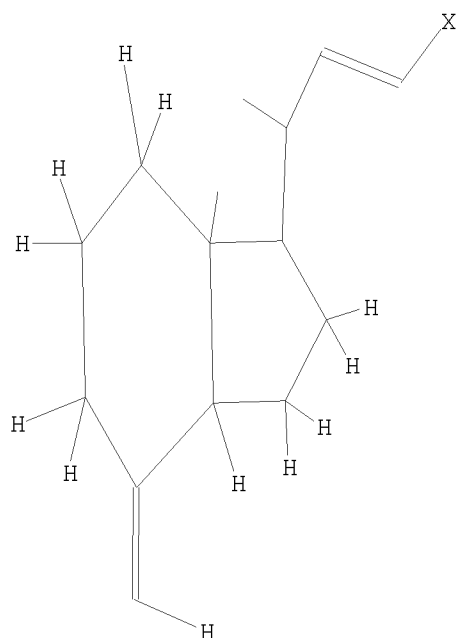
L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

10/923,271



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 13:48:30 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 16 TO ITERATE

100.0% PROCESSED 16 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 80 TO 560

PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

L3 1 L2

=> d ibib abs hitstr

THE ESTIMATED COST FOR THIS REQUEST IS 5.64 U.S. DOLLARS

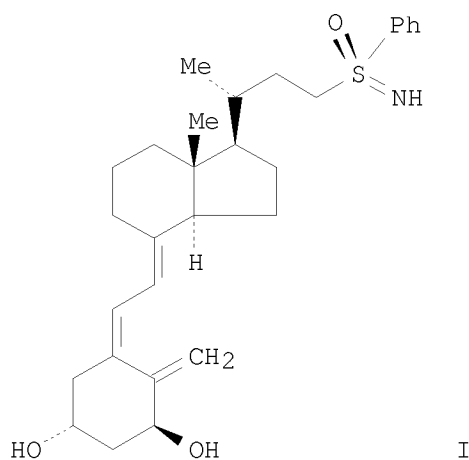
Toh

29/07/2009

10/923,271

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2004:1024083 CAPLUS  
DOCUMENT NUMBER: 142:134781  
TITLE: Potent, Selective and Low-Calcemic Inhibitors of CYP24  
Hydroxylase: 24-Sulfoximine Analogues of the Hormone  
1 $\alpha$ ,25-Dihydroxyvitamin D3  
AUTHOR(S): Kahraman, Mehmet; Sinishtaj, Sandra; Dolan, Patrick  
M.; Kensler, Thomas W.; Peleg, Sara; Saha, Uttam;  
Chuang, Samuel S.; Bernstein, Galina; Korczak, Bozena;  
Posner, Gary H.  
CORPORATE SOURCE: Department of Chemistry, School of Arts and Sciences,  
The Johns Hopkins University, Baltimore, MD, 21218,  
USA  
SOURCE: Journal of Medicinal Chemistry (2004), 47(27),  
6854-6863  
CODEN: JMCMAR; ISSN: 0022-2623  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 142:134781  
GI



AB A dozen 24-sulfoximine analogs of the hormone 1 $\alpha$ ,25-dihydroxyvitamin D3 were prepared, differing not only at the stereogenic sulfoximine stereocenter but also at the A-ring. Although these sulfoximines were not active transcriptionally and were only very weakly antiproliferative, some of them are powerful hydroxylase enzyme inhibitors. Specifically, 24(S)-NH Ph sulfoximine I is an extremely potent CYP24 inhibitor (IC50 = 7.4 nM) having low calcemic activity. In addition, this compound shows high selectivity toward the CYP24 enzyme in comparison to CYP27A1 (IC50 > 1000 nM) and CYP27B (IC50 = 554 nM).  
IT 825638-30-0P

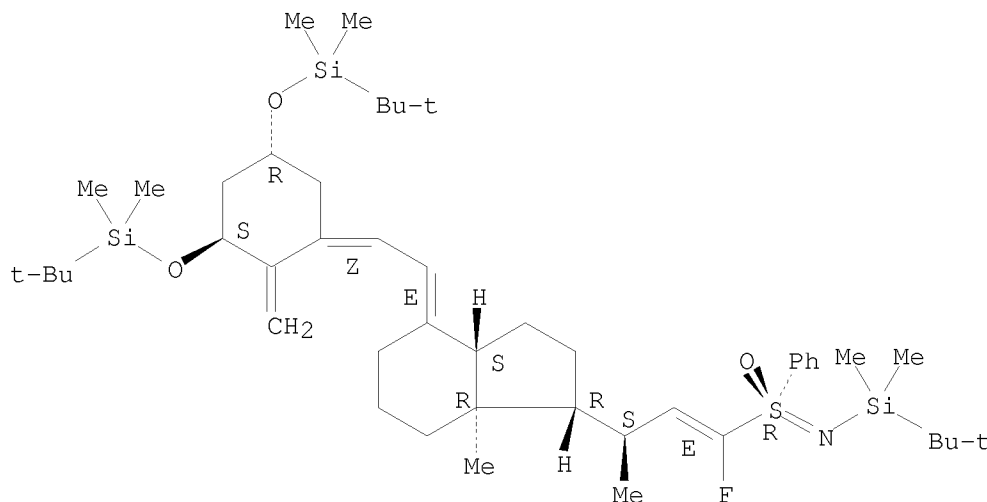
10/923,271

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and CYP24 inhibitory activity of dihydroxyvitamin D3  
sulfoximine analogs)

RN 825638-30-0 CAPLUS

CN Silanamine, N-[(R)-[(1E,3S)-3-[(1R,3aS,4E,7aR)-4-[(2Z)-2-[(3S,5R)-3,5-  
bis[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-  
methylenecyclohexylidene]ethylidene]octahydro-7a-methyl-1H-inden-1-yl]-1-  
fluoro-1-buten-1-yl]oxidophenyl-λ4-sulfanylidene]-1-(1,1-  
dimethylethyl)-1,1-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.



OS.CITING REF COUNT: 27 THERE ARE 27 CAPLUS RECORDS THAT CITE THIS  
RECORD (27 CITINGS)  
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s ll sss full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 13:49:31 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 268 TO ITERATE

100.0% PROCESSED 268 ITERATIONS

16 ANSWERS

SEARCH TIME: 00.00.01

L4 16 SEA SSS FUL L1

Toh

29/07/2009

10/923,271

L5 7 L4

=> s 15 and py<2003  
22984477 PY<2003

L6 2 L5 AND PY<2003

=> s 15 and py<2004  
24035992 PY<2004

L7 2 L5 AND PY<2004

=> d 1-2 ibib abs hitstr

THE ESTIMATED COST FOR THIS REQUEST IS 11.28 U.S. DOLLARS  
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:245588 CAPLUS

DOCUMENT NUMBER: 120:245588

ORIGINAL REFERENCE NO.: 120:43561a, 43564a

TITLE: 1 $\alpha$ ,24S-Dihydroxy-26,27-cyclo-22-yne vitamin D3:  
the side chain triple bond analog of MC 903  
(calcipotriol)

AUTHOR(S): Calverley, Martin J.; Bretting, Claus Aa.S.

CORPORATE SOURCE: Chem. Res. Dep., Leo Pharm. Prod., Ballerup, DK-2750,  
Den.

SOURCE: Bioorganic & Medicinal Chemistry Letters (1993  
, 3(9), 1841-4

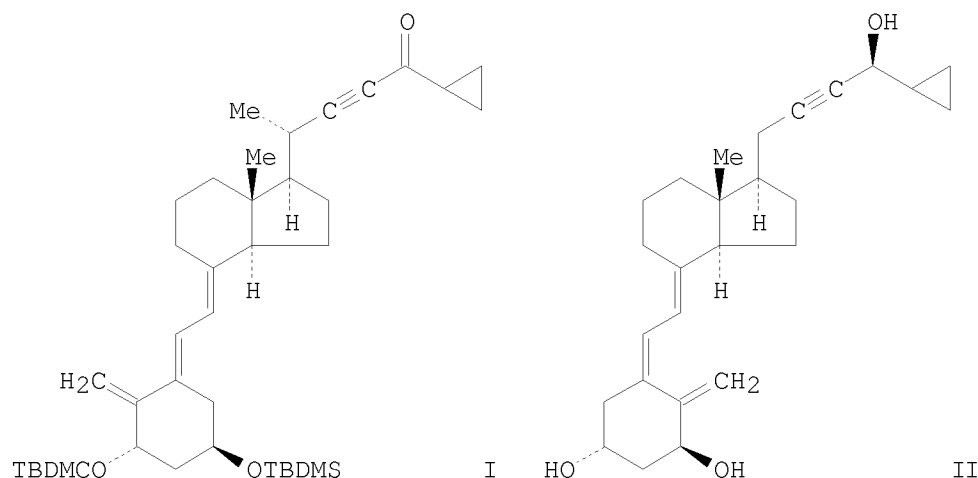
CODEN: BMCLE8; ISSN: 0960-894X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 120:245588

GI



10/923,271

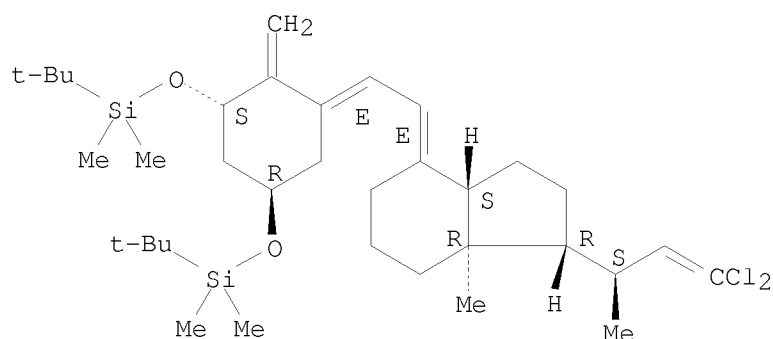
AB The side chain propargylic alc. function [established stereoselectively via S-Alpine-Borane reduction of ynone I (TBDMS = tert-butyldimethylsilyl) and correlated with MC 903] in the title compound II replaces the metabolically labile allylic alc. function of MC 903, a selective analog of the vitamin D hormone used for treating psoriasis. II exhibits reduced in vitro activity but still shows selectively much lower in vivo calcemic effects.

IT 154171-12-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and lithiation and cyclopropylcarbonylation of)

RN 154171-12-7 CAPLUS

CN Silane, [[(1 $\alpha$ ,3 $\beta$ ,5E,7E)-23,23-dichloro-24-nor-9,10-secochola-5,7,10(19),22-tetraene-1,3-diyl]bis(oxy)]bis[(1,1-dimethylethyl)dimethyl-(9CI)] (CA INDEX NAME)

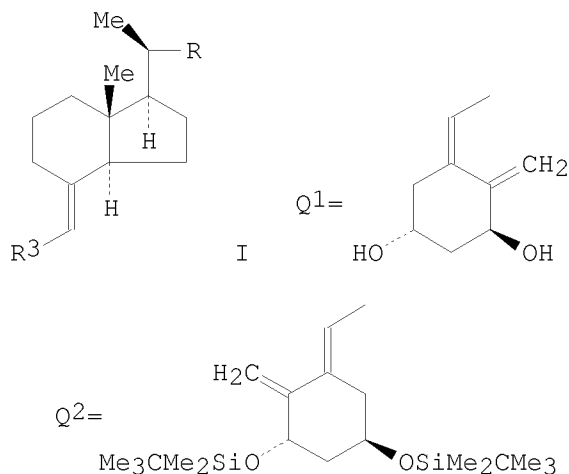
Absolute stereochemistry.  
Double bond geometry as shown.



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L7 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1992:255875 CAPLUS
DOCUMENT NUMBER: 116:255875
ORIGINAL REFERENCE NO.: 116:43403a,43406a
TITLE: Preparation of vitamin D analogs as drugs
INVENTOR(S): Bretting, Claus Aage Svendsgaard
PATENT ASSIGNEE(S): Leo Pharmaceutical Products Ltd. A/S, Den.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9203414	A1	19920305	WO 1991-DK200	19910711 <--
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RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
CA 2078555	A1	19920216	CA 1991-2078555	19910711 <--
CA 2078555	C	20021126		

AU 9184223	A	19920317	AU 1991-84223	19910711 <--
AU 636510	B2	19930429		
EP 543864	A1	19930602	EP 1991-914384	19910711 <--
EP 543864	B1	19941214		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
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JP 3246914	B2	20020115		
ES 2068601	T3	19950416	ES 1991-914384	19910711 <--
RU 2126384	C1	19990220	RU 1992-16313	19910711 <--
CZ 286485	B6	20000412	CZ 1992-3726	19910711 <--
US 5447924	A	19950905	US 1992-927420	19920922 <--
FI 103791	B	19990930	FI 1992-5547	19921207 <--
FI 103791	B1	19990930		
SK 281443	B6	20010312	SK 1992-3726	19921217 <--
LV 10089	B	19941020	LV 1993-243	19930215 <--
LT 3666	B	19960125	LT 1993-965	19930910 <--
PRIORITY APPLN. INFO.:			GB 1990-17890	A 19900815
			CS 1992-3726	A 19910711
			WO 1991-DK200	A 19910711
OTHER SOURCE(S):			MARPAT 116:255875	
GI				



AB Title compds. [I; R = Z1C.tplbond.CZ2CR1R2X; R1, R2 = H, hydrocarbyl; or R1R2 = atoms to form a carbocyclic ring; R3 = cyclohexylidenemethylidyne group Q1; X = H, OH; Z1 = (substituted)(CH<sub>2</sub>)<sub>m</sub>; Z2 = bond, hydrocarbylenediyl; m = 0-2] were prepared as antiinflammatories, immunomodulators, etc. (no data). Thus, I (R = CHO, R3 = cyclohexylidenemethylidyne group Q2) was condensed with (Me<sub>2</sub>N)3P:CCL<sub>2</sub> (prepared in situ) and the product treated, in turn, with BuLi and Br(CH<sub>2</sub>)<sub>3</sub>Ce<sub>2</sub>OSiMe<sub>3</sub> to give I [R = C.tplbond.C(CH<sub>2</sub>)<sub>3</sub>Ce<sub>2</sub>OSiMe<sub>3</sub>, R3 = Q2] which was photoisomerized to give, after deprotection, I [R = C.tplbond.C(CH<sub>2</sub>)<sub>3</sub>Ce<sub>2</sub>OH, R3 = Q1].

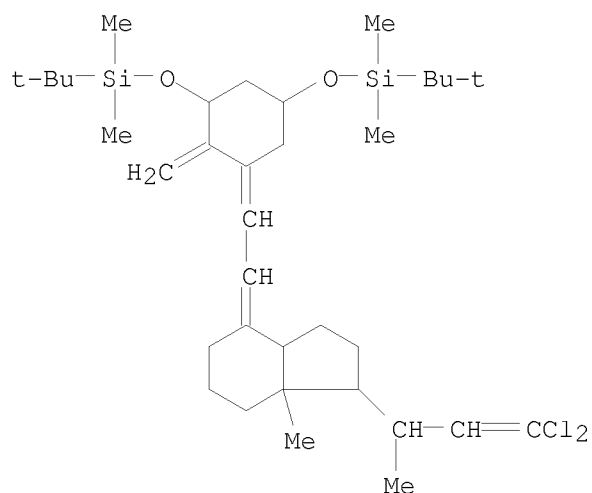
IT 141545-84-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

10/923,271

(preparation and reaction of, in preparation of antiinflammatory and immunomodulator)

RN 141545-84-8 CAPLUS

CN 1H-Indene, 4-[(2E)-2-[(3S,5R)-3,5-bis[[1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]-1-[(1R)-3,3-dichloro-1-methyl-2-propen-1-yl]octahydro-7a-methyl-, (1R,3aS,4E,7aR)- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT